contd.
B1

further details of experimental procedures for the synthesis of certain β-aryl-β-alanine compounds are provided *infra*. A representative purification scheme for purifying the compounds is shown in Figure 4. Certain compounds prepared as described herein are set forth in Table 1, *infra*. Yield data are presented in two columns, the second being identical to that in Table 2, *infra*.

At page 50, replace Table 1 with the following Table:

B2

Table 1. β-aryl-β-alanines prepared from benzaldehydes.

Compound $RCH(NH_2)CH_2COOH$ R =	Yield (%)	Yield (%) (from Table 2)
4-Fluorophenyl	68.5%	61.5%
4-Phenoxyphenyl	39.7%	68.1%
3-(4-methylphenoxy)phenyl	56.4%	56.4%
3-Methyl-4-methoxyphenyl	52.7%	52.7%
3-(3,4-dichlorophenoxy)phenyl	32.6%	42.6%
2-Methylphenyl	19.0%	19.0%
3-(4-chlorophenoxy)phenyl	23.2%	33.2%
2,5-Dimethyl-4-methoxyphenyl	12.6%	22.6%
4-Trifluoromethoxyphenyl	15.2%	46.2%
2-Chlorophenyl	21.7%	27.7%
2-Fluoro-3-trifluoromethylphenyl	5.5%	15.5%
3-Bromo-4-methoxyphenyl	23.8%	43.8%
4-Bromophenyl	34.2%	69.2%
Phenyl	61.1%	67.1%
4-Methylphenyl	51%	51.0%
4-Chlorophenyl	12%	65.0%
4-Acetamidophenyl	23%	23.0%
2,5-Dimethoxyphenyl	22%	22.0%
4-Diethylaminophenyl		
3-Methylphenyl	45.4%	45.8%
2-Hydroxy-3-methoxyphenyl	11%	17.2%
4-Phenylphenyl	40.2%	40.2%
3,4-Dibenzyloxyphenyl	36.2%	36.2%
3-[(3-Trifluoromethyl)phenyloxy]phenyl	29.7%	39.7%

At page 52, replace the paragraph starting at line 23 with the following paragraph:

B3

Additional compounds as synthesized generally in accordance with the previous paragraphs, and analytical data therefor are provided below in Table 2.

Replace the entire page 59 with the following:

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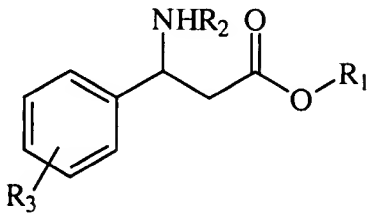
Table 3.

A. Analytical and Biological Activity Data for β-Aryl-β-Alanines and Precursors

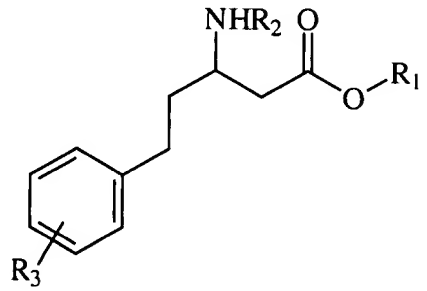


Compound	R_1	R_2	R_3	Yield[a] (%)	m.p. (°C)	TLC[b] (R_f)	IR (cm^{-1}) ν	H nmr[c] δ	Biological Activity[d]
B5P65	CH_3	Ac	H	97.4	58-61	0.42 (I)	3322 (NH), 1741 (C=O), 1649 (C=O)	[e]7.30 (m, 5H), 6.62 (br d, 1H, J=6.0 Hz), 5.43 (q, 1H, J=6.0 Hz), 3.62 (2, 3H), 2.89 (dd, 2H, J=5.9, 8.5 Hz), 2.02 (s, 3H)	NA
B6P140	CH_3	Ac	ρ-F_3C	87.1	Oil	0.52 (I)	3340 (NH), 1736 (C=O), 1654 (C=O)	[f]8.45 (d, 1H, J=8.0 Hz), 7.59 (d, 2H, J=8.3 Hz), 7.49 (d, 2H, J=8.1 Hz), 5.25 (q, 1H, J=7.6, 15 Hz), 3.55 (s, 3H), 2.75 (m, 2H), 1.82 (s, 3H)	NA
B5P91	H	H	H	61.1[g]	220-221	0.75 (I)	3305 (OH), 1627 (C=O)	[h]7.32 (s, 5H), 4.49 (t, 1H, J=7.9 Hz), 2.71 (d of t, 2H, J=6.5, 1.3 Hz)	0
B6P141	H	H·HCl	ρ-F_3C	93.0	203 (dec.)	0.60 (H)	3500-2900 (OH), 1715 (C=O)	[f]7.70 (d, 1H, J=8.1 Hz), 7.54 (d, 2H, J=8.1 Hz), 4.78 (dd, 1H, J=7.0, 7.3 Hz), 3.05 (m, 2H)	+1

a. EtOH, H_2O or a mix used for recrystallization; b. Solvent systems: I: EtOAc:MeOH 9:1; H: MeOH:AcOH 5:1; ^1H nmr solvents: e: $CDCl_3$, f: DMSO-*d6*, h: D_2O; Using pilocarpine, compound is active in rat at 100 mg/kg, or inactive; g. 48% [150].

Table 3 (continued).

B. Analytical and Biological Activity Data for β-Phenethyl-β-alanine and Precursors



Compound	R_1	R_2	R_3	Yield (%)	m.p. (°C)	TLC[b] (R_f)	IR (cm^{-1}) ν	H nmr[c] δ	Biological Activity[d]
B5P69	CH_3	Ac	p-CH_3O	93.8	Oil	0.54 (I)	3285 (NH), 1735 (C=O), 1651 (C=O)	[e]7.08 (d, 2H, J=8.5 Hz), 6.81 (d, 2H, J=8.7 Hz), 6.03 (br d, 1H, J=8.7 Hz), 4.27 (m, 1H), 3.77 (s, 3H), 3.67 (s, 3H), 2.59 (t, 2H, J=8.2 Hz), 2.55 (d, 2H, J=8.4 Hz), 1.96 (s, 3H), 1.84 (q, 2H, J=8.2 Hz)	NA
B5P73	CH_3	Ac	H	98.6	Gum	0.68 (I)	3475 (NH), 1735 (C=O), 1654 (C=O)	[e]7.23 (m, 5H), 6.10 (br d, 1H, J=8.8 Hz), 4.30 (t of d, 1H, J=8.9, 5.4 Hz), 3.68 (s, 3H), 2.66 (t, 2H, J=8.2 Hz), 2.57 (dd, 2H, J=4.9, 3.0 Hz), 1.96 (s, 3H), 1.87 (m, 2H)	NA
B6P89	CH_3	Ac	p-CH_3	99.1	50-51	0.63 (I)	3288 (NH), 1731 (C=O), 1639 (C=O)	[e]7.07 (s, 4H), 6.08 (br d, 1H, J=8.8 Hz), 4.28 (sextet, 1H, J=5.3 Hz), 3.67 (s, 3H), 2.63 (d, 2H, J=8.2 Hz), 2.55 (m, 2H), 2.30 (s, 3H), 1.96 (s, 3H), 1.84 (quintet, 2H, J=7.9 Hz)	NA

Replace the entire page 61 with the following: ✓

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Table 3 (continued).

Compound	R_1	R_2	R_3	Yield[a] (%)	m.p. (°C)	TLC[b] (R_f)	IR (cm^{-1}) ν	H nmr[c] δ	Biological Activity[d]
B6P101	CH_3	Ac	*m*-NEt	100	Oil	0.62 (I)	3440 (NH), 1731 (C=O), 1653 (C=O)	[e]7.11 (t, 1H, J=7.5 Hz), 6.48 (br t, 3H), 6.05 (br d, 1H, J=8.4 Hz), 4.31 (m, 1H), 3.67 (s, 3H), 3.33 (q, 2H, J=7.0 Hz), 2.59 (t, 2H, J=8.4 Hz), 2.56 (d, 2H, J=4.4 Hz), 2.39 (br s, 1H), 1.94 (s, 3H), 1.87 (m, 2H), 1.14 (t, 3H, J=7.0 Hz)	NA
B6P113	CH_3	Ac	*m*,ρ-OCH_2O-	97.5	Oil	0.53 (I)	1729 (C=O), 1654 (C=O)	[e]7.01 (d, 1H, 8.4 Hz), 6.75 (d, 1H, J=8.4 Hz), 6.65 (m, 1H), 6.16 (m, 1H), 5.90 (s, 0.5H), 4.25 (m, 1H), 3.68 (s, 3H), 2.57 (m, 2H), 2.53 (m, 2H), 1.97 (s, 3H), 1.77 (m, 2H), 1.51 (impurity), 1.24 (impurity)	NA
B6P119	CH_3	Ac	ρ-OH *m*-CH_3O	60.0	Oil	0.80 (L)	3498 (OH), 1743 (C=O), 1663 (C=O)	[e]6.82 (d, 1H, J=7.9 Hz), 6.67 (m, 2H), 6.10 (br d, 1H, J=8.6 Hz), 5.56 (br s, 1H), 4.28 (m, 1H), 3.88 (s, 3H), 3.68 (s, 3H), 2.60 (d, 2H, J=8.4 Hz), 2.55 (t, 2H, J=2.2 Hz), 1.97 (s, 3H), 1.85 (m, 2H)	NA
B5P81	H	H	ρ-CH_3O	31.0	gum	0.34 (I), 0.70 (K)	3400-2500 (OH), 1632 (C=O)	[f]7.13 (d, 2H, J=8.6 Hz), 6.85 (d, 2H, J=8.5 Hz), 3.69 (s, 3H), 3.37 (m, 1H), 2.57 (t, 2H, J=8.0 Hz), 2.46 (m, 2H), 1.82 (m, 2H)	0

Replace the entire page 62 with the following:

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Table 3 (continued).

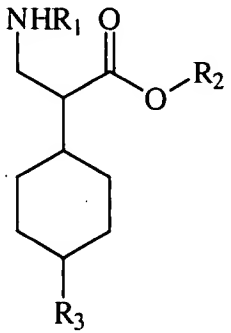
Compound	R_1	R_2	R_3	Yield[a] (%)	m.p. (°C)	TLC[b] (R_f)	IR (cm^{-1}) ν	H nmr[c] δ	Biological Activity[d]
B5P95	H	H	H	39.6	211-214[g]	0.37 (I)	3310 (OH), 1663 (C=O)	[k]8.36 (d, 5H, J=15.6 Hz), 4.92 (br s, 1H), 4.14 (br s, 2H), 3.95 (br d, 2H, J=8.0 Hz), 3.32 (br s, 2H)[i]	+1
B5P111	H	H	ρ-CH_3	66.9	206-207	0.89 (K)	3280 (OH), 1706 (C=O)	[k]8.20 (m, 4H), 4.89 (m, 1H), 4.10 (m, 2H), 3.87 (m, 2H), 3.38 (s, 3H), 3.28 (quintet, 2H, J=3.6 Hz)	Inactive
B6P145	H	H	ρ-OH *m*-CH_3O	98.4	oil	0.32 (I)	3447 (OH), 1718 (C=O)	[j]7.79 (br d, 1H, J=8.3 Hz), 6.68 (s, 1H), 6.65 (d, 1H, J=9.5 Hz), 6.49 (d, 1H, J=8.0 Hz) 4.00 (m, 1H), 3.69 (s, 3H), 2.43 (m, 2H), 2.30 (d, 2H, J=6.6 Hz), 1.76 (impurity), 1.63 (m, 2H)	+1

a. EtOH, H_2O or a mix used for recrystallization, where possible; b. Solvent systems: I: EtOAc:MeOH 9:1; L: EtOH:AcOH 50:1; K: MeOH:AcOH 5:1; c. ^1H nmr solvents: e: $CDCl_3$, f: D_2O, h: TFA-*d*, j: DMSO-*d6*; d. Using pilocarpine, compound is active in rat at 100 mg/kg, or inactive; g. 226-228°C (dec.)[194]; i. ^1H nmr in D_2O [144]..

Replace the entire page 63 with the following: ✓

Table 3 (continued).

C. Analytical and Biological Activity Data for 4'-Substituted α-Cyclohexyl-β-alanine and Precursors



Compound	R_1	R_2	R_3	Yield[a] (%)	m.p. (°C)	TLC[b] (R_f)	IR (cm^{-1}) ν	H nmr[c] δ	Biological Activity[d]
B6P77	Ac	CH_3	H	93.5	Oil	0.80 (I)	1738 (C=O), 1674 (C=O)	[e]5.91 (br s, 1H), 4.14 (q, J=7.1 Hz)**, 3.69 (s, 3H), 3.53 (m, 1H), 3.32 (m, 1H), 2.46 (m, 1H), 1.94 (m, 5H), 1.26 (t, J=7.2 Hz)**, 1.14 (m, 6H)	NA
B6P81	Ac	CH_3	Ph	95.8	75-80	0.79 (L)	3259 (NH), 1730 (C=O), 1647 (C=O)	[e]7.29 (m, 5H), 7.19 (m, 2H), 5.94 (br s, 1H), 3.73 (s, 3H), 3.58 (m, 1H), 3.48 (m, 1H), 3.40 (m, 1H), 2.47 (m, 2H), 1.97 (s, 3H), 1.91 (m, 2H), 1.75 (m, 2H), 1.50 (m, 2H), 1.26 (m, 2H)	NA

Replace the entire page 64 with the following:

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Table 3 (continued).

Compound	R_1	R_2	R_3	Yield[a] (%)	m.p. (°C)	TLC[b] (R_f)	IR (cm^{-1}) ν	H nmr[c] δ	Biological Activity[d]
B6P109	Ac	CH_3	$C(CH_3)_3$	98.3	73-75	0.70 (I)	3261 (NH), 1735 (C=O), 1648 (C=O)	[e]5.88 (br s, 1H), 3.69 (s, 3H), 3.53 (m, 1H), 3.41 (m, 1H), 3.34 (m, 1H), 2.44 (m, 1H), 1.94 (s, 3H), 1.77 (m, 2H), 1.63 (m, 1H), 1.50 (m, 1H), 1.27 (t, 1H, J=7.1 Hz), 1.00 (m, 4H), 0.82 (s, 9H)	NA
B5P107	H·HCl	H	Ph	33.5	268-270	0.74 (I)	3300-2500 (OH), 1701 (C=O)	[f]8.09 (br s, 0.5H), 7.18 (m, 5H), 3.29 (m, 1H), 3.01 (m, 1H), 2.87 (dd, 1H, J=4.0, 12.8 Hz), 2.57 (t, 1H, J=4.5 Hz), 2.45 (m, 1H), 1.75 (m, 5H), 1.29 (m, 3H)	+3
B5P119	H	H	H	51.9	238-240	0.75 (I)	3300-2700 (OH), 1635 (C=O)	[g]4.58 (quintet, 2H), 4.01 (m, 1H), 3.11 (m, 1H), 2.83 (m, 5H), 2.32 (m, 5H)	+1
B5P127	H·HCl	H	$C(CH_3)_3$	62.7	230 (dec)	0.91 (K)	3400-2700 (OH), 1732 (C=O)	[f]8.02 (br s, 3H), 2.97 (m, 1H), 2.84 (m, 2H), 2.51 (m, 1H), 1.71 (m, 3H), 1.63 (m, 2H), 0.95 (m, 4H), 0.79 (s, 9H)	0

** Partial Et-Me exchange has occurred due to solvolysis.

a. EtOH, H_2O or a mix used for recrystallizations; b. Solvent systems: I: EtOAc:MeOH 9:1; L: EtOH:AcOH 50:1; K: MeOH:AcOH 5:1; c. ^1H nmr solvents: e: $CDCl_3$, f: DMSO-*d6*, g. TFA-*d*, d. Using pilocarpine, compound is active in rat at 100 mg/kg, or inactive.

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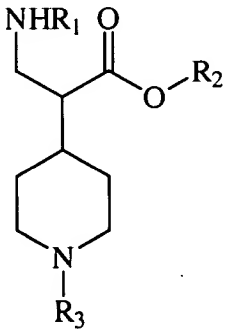
Replace the entire page 65 with the following:

Table 3 (continued).

D. Analytical and Biological Activity Data for 4'-Substituted N-Acetyl-α-piperidinyl-β-alanine methyl ester



Compound	R_1	R_2	R_3	Yield (%)	m.p. (°C)	TLC[a] (R_f)	IR (cm^{-1}) ν	H nmr[c] δ	Biological Activity
B6P105	Ac	CH_3	CO_2Et	96.8	Gum	0.65 (I)	1743 (C=O), 1708 (C=O), 1673 (C=O)	5.92 (br s, 1H), 4.16 (q, J=6.6 Hz)**, 4.10 (q, 2H, H=7.1 Hz), 3.70 (s, 3H), 3.52 (m, 1H), 3.41 (m, 1H), 2.69 (m, 2H), 2.51 (m, 1H), 2.01 (m, 2H), 1.95 (s, 3H), 1.79 (m, 1H), 1.71 (d of m, 2H), 1.55 (d of m, 2H), 1.30 (t, J=6.6 Hz)**, 1.23 (t, 3H, J=7.0 Hz)	NA

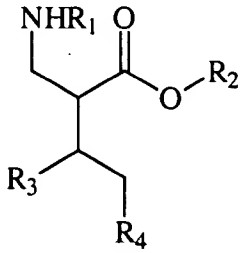
** Partial Et-Me exchange has occurred due to solvolysis.

a. Solvent system: I: EtOAc:MeOH 9:1.

Replace the entire page 66 with the following.

Table 3 (continued).

E. Analytical and Biological Activity Data for N-Acetyl-α-substituted-β-alanine methyl ester and α-Substituted-β-alanine



Compound	R_1	R_2	R_3	R_4	m.p. (°C)	Yield[a] (%)	TLC[b] (R_f)	IR (cm^{-1}) ν	H nmr (DMSO-*d6*) δ	Biological Activity[c]
B6P85	Ac	CH_3	$-CH_2CH_2CH_2-$		Oil	NA	0.54 (I)	1720 (C=O), 1660 (C=O)	7.78 (br s, 1H), 4.03 (q, J=7.0 Hz)**, 3.57 (s, 3H), 3.30 (m, 1H), 3.09 (m, 2H), 2.35 (m, 2H), 1.87 (m, 2H), 1.76 (s, 3H), 1.49 (m, 5H), 1.17 (t, J=7.0 Hz)**	NA
B6P93	Ac	CH_3	Et	CH_3	Oil	83.4	0.75 (I)	3189 (NH), 1723 (C=O), 1665 (C=O)	7.80 (br m, 1H), 3.58 (s, 3H), 3.26 (m, 1H), 3.04 (m, 1H), 2.59 (m, 1H), 1.76 (s, 3H), 1.5-1.1 (m, 5H), 0.9-0.7 (m, 6H)	NA

Replace the entire page 67 with the following:

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Table 3 (continued).

Compound	R_1	R_2	R_3	R_4	m.p. (°C)	Yield[a] (%)	TLC[b] (R_f)	IR (cm^{-1}) ν	H nmr (DMSO-*d6*) δ	Biological Activity[c]
B6P97	Ac	CH_3	H	Bu	Gum	99.6	0.53 (I)	1739 (C=O), 1658 (C=O)	7.45 (br d, 1H, J=8.1 Hz), 3.70 (s, 3H), 2.51 (br d, 2H, J=6.3 Hz), 1.94 (s, 3H), 1.51 (br m, 2H), 1.33 (br m, 8H), 0.94 (m, 3H)	NA
B6P117	Ac	Et	$-CH_2(CH_2)_3CH_2-$		Oil	79.7	0.77 (I)	3216 (NH), 1727 (C=O), 1666 (C=O)	[d]5.89 (br s, 1H), 4.16 (d of q, 2H, J=7.0, 4.0 Hz), 3.62 (d of q, 1H, J=3.7, 13.5 Hz), 3.25 (d of q, 1H, J=5.2, 13.5 Hz), 2.52 (d of q, 1H, J=3.7, 9.5 Hz), 1.94 (s, 3H), 1.7-1.3 (br m, 11H), 1.27 (t, 3H, J=7.0 Hz)	NA
B6P133	Ac	Et	$-CH_2(CH_2)_8CH_2-$		Oil	98.5	0.75 (I)	3316 (NH), 1725)(C=O), 1661 (C=O)	7.88 (br s, 1H), 4.05 (q, 2H, J=8.1 Hz), 3.59 (m, 2H), 2.45 (m, 1H), 1.74 (s, 3H), 1.50 (m, 1H), 1.28 (m, 22H), 1.15 (t, 3H, J=8.1 Hz)	NA

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Replace the entire page 68 with the following:

Table 3 (continued).

Compound	R_1	R_2	R_3	R_4	m.p. (°C)	Yield[a] (%)	TLC[b] (R_f)	IR (cm^{-1}) ν	H nmr (DMSO-*d6*) δ	Biological Activity[c]
B5P131	H·HCl	H	$-CH_2(CH_2)_8CH_2-$		201-204	36.7	0.79 (I)	3400-2700 (OH), 1722 (C=O)	12.72 (br s, 1H), 7.99 (br s, 3H), 2.98 (m, 1H), 2.82 (m, 1H), 2.68 (m, 1H), 1.91 (m, 1H), 1.28 (m, 24H)	Inactive

** Partial Et-Me exchange has occurred due to solvolysis.

a. Yield of last synthetic step; b. Solvent system: I: EtOAc:MeOH 9:1 c. Using pilocarpine, compound is active in rat at 100 mg/kg, or inactive; d. ^1H nmr solvent: $CDCl_3$.

At page 69, replace the paragraph starting at line 31 with the following paragraph: ✓

a14 The compounds of the invention listed in Tables 2 and 3, *supra*, were tested for biological activity per Example 6. The following compounds were found to have at least weak activity: β-p-methylphenyl-β-alanine hydrochloride, β-2-hydroxy-3-methoxyphenyl-β-alanine, β-3-methyl-4-

methoxyphenyl-β-alanine (slight), β-3-(3,4-dichlorophenoxy)phenyl-β-alanine hydrochloride (moderate), β-2,5-dimethyl-4-methoxyphenyl-β-alanine, β-p-(trifluoromethoxy)phenyl-β-alanine, and β-2-fluoro-3-(trifluoromethyl)phenyl-β-alanine (moderate).

At page 70, replace the paragraph starting at line 22 with the following paragraph: ✓

a15 *Example 6*

Selected compounds were dissolved in 0.9% NaCl or suspended in a mixture of 30% polyethylene glycol 400 and 70% water, and tested in an animal model. Briefly, the compounds were administered intraperitoneally or or orally to carsworth Farms #1 mice (in a volume of 0.01 ml/g of body weight) or Sprague-Dawley rats (in a volume of 0.004 ml/g body weight). Times on peak effect and peak neurologic deficit were determined before the anticonvulsant tests were administered.

At page 71, replace the paragraph starting at line 11 with the following paragraph: ✓

a16 *Example 7*

Testing of the dioxapiperazine compounds was performed in 12 mice at doses of 30, 100, 300 mg/kg (4 mice each) 30 minutes and four hours after the test compounds was administered. The results are shown in Table 4.

Pursuant to 37 CFR 1.121(b)(1)(iii), a marked up version of the amended text showing the changes made appears herein as Appendix A.